

# PATENT COOPERATION TREATY

## PCT



### INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

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| Applicant's or agent's file reference<br>X-15558  | <b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/416) |  |
| International application No.<br>PCT/US 03/0466   | International filing date (day/month/year)<br>14.04.2003   | Priority date (day/month/year)<br>26.04.2002 |
| International Patent Classification (IPC) or both national classification and IPC<br>C07D401/06 |  |  |
| Applicant<br>ELI LILLY AND COMPANY et al.   |  |  |

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| <p>1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 5 sheets, including this cover sheet.</p> <p><input type="checkbox"/> This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).</p> <p>These annexes consist of a total of sheets.</p>  |
| <p>3. This report contains indications relating to the following items:</p> <p>I <input checked="" type="checkbox"/> Basis of the opinion</p> <p>II <input type="checkbox"/> Priority</p> <p>III <input checked="" type="checkbox"/> Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p>IV <input type="checkbox"/> Lack of unity of invention</p> <p>V <input checked="" type="checkbox"/> Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p>VI <input type="checkbox"/> Certain documents cited</p> <p>VII <input type="checkbox"/> Certain defects in the international application</p> <p>VIII <input type="checkbox"/> Certain observations on the international application</p> |

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|---|---|
| Date of submission of the demand<br>13.08.2003  | Date of completion of this report<br>03.08.2004   |
| Name and mailing address of the International preliminary examining authority:<br> European Patent Office<br>D-80298 Munich<br>Tel. +49 89 2399 - 0 Tx: 523656 epmu d<br>Fax: +49 89 2399 - 4465 | Authorized Officer<br>Hanisch, I<br>Telephone No. +49 89 2399-7880<br> |

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT**

International application No. **PCT/US 03/10466**

**I. Basis of the report**

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

**Description, Pages**

1-26 as originally filed

**Claims, Numbers**

1-25 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).  
☐ the language of publication of the international application (under Rule 48.3(b)).  
☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.  
☐ filed together with the international application in computer readable form.  
☐ furnished subsequently to this Authority in written form.  
☐ furnished subsequently to this Authority in computer readable form.  
☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.  
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:  
☐ the claims, Nos.:  
☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

*(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)*

6. Additional observations, if necessary:

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**III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application,

☒ claims Nos. 22,23

because:

☒ the said international application, or the said claims Nos. 22,23 relate to the following subject matter which does not require an international preliminary examination (specify):

**see separate sheet**

☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):

☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.

☐ no international search report has been established for the said claims Nos.

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

☐ the written form has not been furnished or does not comply with the Standard.

☐ the computer readable form has not been furnished or does not comply with the Standard.

**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

1. Statement

|                               |             |            |
|-------------------------------|-------------|------------|
| Novelty (N)                   | Yes: Claims | 1-25       |
|                               | No: Claims  |            |
| Inventive step (IS)           | Yes: Claims |            |
|                               | No: Claims  | 1-25       |
| Industrial applicability (IA) | Yes: Claims | 1-21,24,25 |
|                               | No: Claims  |            |

2. Citations and explanations

**see separate sheet**

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EXAMINATION REPORT - SEPARATE SHEET**

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**Re Item III**

Claims 22 and 23 relate to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1 (iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject matter of these claims (Article 34(4)(a)(i)PCT).

**Re Item V**

Relevant prior art is provided by:

- (A) EP 0590789
- (B) Molecular Pharmacology 1996, 49, 581-585
- (C) WO 0102367

**Novelty**

The general formulae of the present esters of 6-tetrazolyethyl-quinolin-3-carboxylic acid and those of (A) appear to overlap, whereby the present overlapping part may be regarded as a novel selection of (A) since it encompasses neither the preferred embodiments nor an exemplified compound of (A). The present esters are novel over (B) essentially due to the ester function and novel over (C) essentially due to the tetrazolyethyl substituent. Article 33(2) PCT thus appears to be fulfilled.

**Inventive Step**

The problem underlying the present application appears to be the provision of further 6-tetrazolyethyl-quinolin-3-carboxylic acid derivatives which by antagonizing the AMPA or GluR<sub>5</sub> receptor are useful agents for the treatment of neurological disorders, pain or migraine.

(A) is the most relevant prior art since it discloses compounds which display the desired activity and are structurally so close to the present compounds that the two general formulae overlap. Therefore, the present solution to the given problem appears to be obvious to the skilled man. An inventive step in the sense of Article 33(3) PCT could only be acknowledged if an unexpected improvement was achieved by the present ester compounds vis-à-vis the closest state of the art document (A).

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International application No. PCT/US 03/10466

Industrial Applicability

For the assessment of present claims 22 and 23 on the question whether they are industrially applicable, no unified criteria exists in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment.

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